

WHAT IS CLAIMED IS:

1. A non-naturally occurring bifunctional inhibitor molecule of less than about 5000 daltons that inhibits a binding event between a first target protein and a second binding protein, said bifunctional inhibitor molecule consisting of:
- 5 a target protein ligand and a blocking protein ligand optionally joined by a linking group;
- wherein said bifunctional inhibitor molecule is capable of simultaneously binding said target protein and said blocking protein in a manner sufficient to inhibit said binding
- 10 event.
2. The bifunctional inhibitor molecule according to Claim 1, wherein said bifunctional inhibitor molecule comprises a linking group.
3. The bifunctional inhibitor molecule according to Claim 1, wherein said bifunctional inhibitor molecule binds to a site of said target protein that is also bound by said second binding protein.
4. The bifunctional inhibitor molecule according to Claim 1, wherein said bifunctional inhibitor molecule binds to a site of said target protein that is not bound by said second binding protein.
5. The bifunctional inhibitor molecule according to Claim 1, wherein said blocking protein is an extracellular protein.
6. The bifunctional inhibitor molecule according to Claim 1, wherein said blocking protein is an intracellular protein.
7. The bifunctional molecule according to Claim 6, wherein said blocking protein is a peptidyl prolyl isomerase.
8. A synthetic bifunctional inhibitor molecule of less than about 5000 daltons and capable of inhibiting a binding event between a first target protein and a second binding protein, wherein said bifunctional inhibitor molecule is of the formula:

wherein:

X is target protein ligand;

L is a bond or a linking group; and

5 Z is different from X and is a blocking protein ligand;

wherein said bifunctional inhibitor molecule is capable of simultaneously binding to said target protein and said blocking protein in a manner sufficient to inhibit said binding event.

10 9. The bifunctional inhibitor molecule according to Claim 8, wherein X binds to a site of said target protein that is also bound by said second binding protein.

10. The bifunctional inhibitor molecule according to Claim 8, wherein X binds to a site of said target protein that is not bound by said second binding protein.

15 11. The bifunctional inhibitor molecule according to Claim 8, wherein X has a molecular weight of from about 50 to 2000 D.

12. The bifunctional inhibitor molecule according to Claim 8, wherein said target protein is an extracellular protein.

13. The bifunctional inhibitor molecule according to Claim 8, wherein said target protein is an intracellular protein.

25 14. The bifunctional inhibitor molecule according to Claim 13, wherein said blocking protein is a peptidyl prolyl isomerase.

15. The bifunctional inhibitor molecule according to Claim 8, wherein Z has substantially no pharmacologic activity apart from binding to a blocking protein.

30 16. A method for inhibiting a binding event between a first target protein and a second binding protein in a host, said method comprising:

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administering to said host an effective amount of a bifunctional inhibitor molecule of less than about 5000 daltons consisting of a target protein ligand and a blocking protein ligand optionally joined by a linking group, wherein said bifunctional inhibitor molecule is capable of simultaneously binding said target protein and said blocking protein in a manner sufficient to inhibit said binding event; (*between 1st & 2nd*,

whereby a tripartite complex comprising said bifunctional inhibitor molecule, said target protein and said blocking protein is produced that inhibits said binding event.

measurement / correlation - detection.

17. The method according to Claim 16, wherein said bifunctional inhibitor molecule comprises a linking group.

18. The method according to Claim 16, wherein said bifunctional inhibitor molecule binds to a site of said target protein that is also bound by said second binding protein.

19. The method according to Claim 16, wherein said bifunctional inhibitor molecule binds to a site of said target protein that is not bound by said second binding protein.

20. The method according to Claim 16, wherein said tripartite complex is produced intracellularly.

21. The method according to Claim 16, wherein said tripartite complex is produced extracellularly.

22. The method according to Claim 16, wherein said blocking protein is endogenous to said host.

23. The method according to Claim 22, wherein said blocking protein is selected from the group consisting of: peptidyl-prolyl isomerases, Hsp90, steroid hormone receptors, cytoskeletal proteins, albumin and vitamin receptors.

24. The method according to Claim 16, wherein said bifunctional inhibitor molecule is administered as a pharmaceutical preparation.

25. A pharmaceutical preparation comprising a bifunctional inhibitor molecule according to Claim 1.

26. A kit comprising the pharmaceutical preparation according to Claim 25 and
5 instructions for use in a therapeutic method.

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